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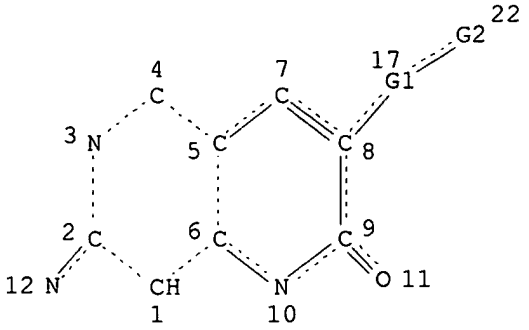

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Ak 18 Cb

19 Ak----Cb 21 O 23 S 24 NH 25

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VAR G2=18/19/20
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DEFAULT MLEVEL IS ATOM
MLEVEL IS CLASS AT 11 12 13 14 15 16 18 19 23 24
GGCAT IS SAT AT 20
GGCAT IS SAT AT 21
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 25

STEREO ATTRIBUTES: NONE
L4 1 SEA FILE=REGISTRY SSS FUL L2
L5 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L4

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L5 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:633921 HCAPLUS
DOCUMENT NUMBER: 141:174079
TITLE: Preparation of 2-aminopyridines as cdk4 inhibitors
INVENTOR(S): Biwersi, Cathlin Marie; Mcnamara, Dennis Joseph;

Repine, Joseph Thomas; Toogood, Peter Laurence;
Vanderwel, Scott Norman; Warmus, Joseph Scott
PATENT ASSIGNEE(S): Warner-Lambert Company Llc, USA
SOURCE: PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004065378	A1	20040805	WO 2004-IB91	20040109
W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GH, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ				
US 2004236084	A1	20041125	US 2004-759749	20040116
PRIORITY APPLN. INFO.:			US 2003-440805P P	20030117
OTHER SOURCE(S):	MARPAT 141:174079			

GI

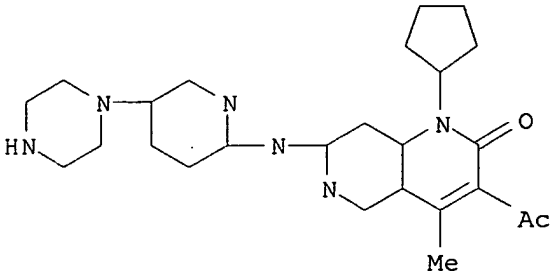
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein A1 = (un)substituted monocyclic or bicyclic heteroaryl; R1 = H, alk(en)yl, acyl, aryloxycarbonyl, alkyloxycarbonyl, trialkylsilyl; X, Y = independently H, halo, CN, alkyl, alkylcarbonyl, alkoxycarbonyl, NO2, OH and derivs., NH2 and derivs., SO2NH2 and derivs., etc; W = H, halo, cyclo/alkoxy/halo/hydroxy/alkyl, alkenyl, alkynyl, CN, NO2, SH and derivs., NH2 and derivs., SO2NH2 and derivs., heteroaryl, etc.; WCCX, or WCCY = (un)substituted aryl ring containing up to three heteroatoms; and their pharmaceutically acceptable salts, esters, amides, or prodrugs] were prepared as cyclin-dependent kinases 4 (cdk4) inhibitors. For example, II was prepard by cyclocondensation of guanidine III with 2-Cyclopentyl-6-hydroxymethylene-3-methoxycyclohex-2-en-1-one, dehydrogenation, and BOC-deprotection. II selectively inhibited cdk4 over cdk2 with IC50 values of 0.004 μM and 1.7 μM, resp. Thus, I and their formulations are useful for treating cell proliferative disorders, such as cancer, atherosclerosis, and restenosis (no data).

IT **733040-10-3P**, 3-Acetyl-1-cyclopentyl-4-methyl-7-[5-(piperazin-1-yl)pyridin-2-ylamino]-1H-[1,6]naphthyridin-2-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(cdk4 inhibitor; preparation of 2-aminopyridines as cdk4 inhibitors for treating cell proliferative disorders)

RN 733040-10-3 HCAPLUS

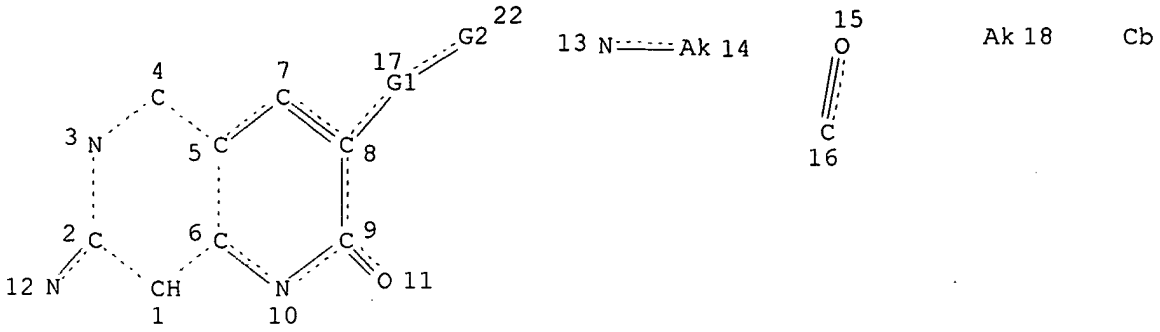
CN 1,6-Naphthyridin-2(1H)-one, 3-acetyl-1-cyclopentyl-4-methyl-7-[[5-(1-piperazinyl)-2-pyridinyl]amino]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Beilstein

=> d que
L2 STR



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VAR G2=18/19/20
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DEFAULT MLEVEL IS ATOM
MLEVEL IS CLASS AT 11 12 13 14 15 16 18 19 23 24
GGCAT IS SAT AT 20
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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 25

STEREO ATTRIBUTES: NONE
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Marpat 10634936 Con

Nwaonicha ~~10/698,735~~ 02/04/2005

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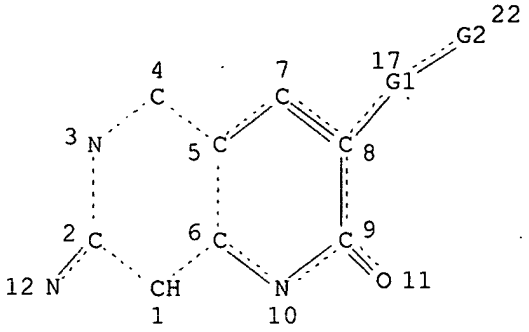

13 N----Ak 14

Ak 18 Cb

19 Ak----Cb 21 O 23 S 24 NH 25

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Page 1-B
VAR G1=23/24/25/13-8 13-22/16-8 16-22
VAR G2=18/19/20
NODE ATTRIBUTES:
CONNECT IS E2 RC AT 12
CONNECT IS E1 RC AT 14
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DEFAULT MLEVEL IS ATOM
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GGCAT IS SAT AT 20
GGCAT IS SAT AT 21
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 25

STEREO ATTRIBUTES: NONE
L4 1 SEA FILE=REGISTRY SSS FUL L2
L5 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L4
L6 6 SEA FILE=MARPAT SSS FUL L2
L7 5 SEA FILE=MARPAT ABB=ON PLU=ON L6 NOT L5

=> d l7 ibib abs qhit 1-5

L7 ANSWER 1 OF 5 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 140:199338 MARPAT
TITLE: Preparation of 6-alkoxy-pyridopyrimidines as p-38 MAP

kinase inhibitors
INVENTOR(S): Goldstein, David Michael; Lim, Julie Anne
PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014907	A1	20040219	WO 2003-EP8357	20030729
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004038999	A1	20040226	US 2003-634936	20030805
PRIORITY APPLN. INFO.:			US 2002-401491P	20020806

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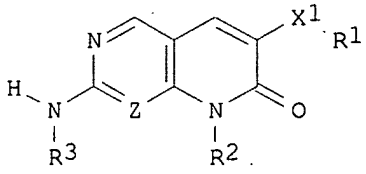

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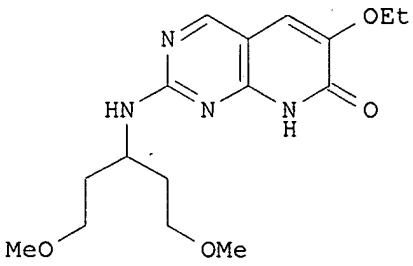
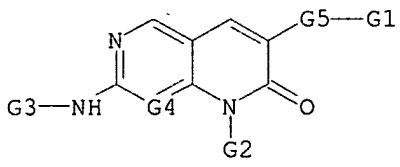


II

AB The title compds. [I; R1 = alkyl, cycloalkyl, cycloakylalkyl, or CH2(alkenyl); X1 = O, NH, N(alkyl), S, CO; Z = N, CH; R2 = H, alkyl, cycloalkyl, etc.; R3 = alkyl, haloalkyl, aryl, etc.], were prepared E.g., a 3-step synthesis of II (starting from 4-amino-2-butylsulfanyl-4,5-dihydropyrimidine-5-carboxaldehyde and Et ethoxyacetate) which showed IC50 of about 7.7 μM in p38 MAP kinase in vitro assay, was given. The pharmaceutical composition comprising the compound I is claimed.

MSTR 1



G1 = alkyl<(1-8)> (SO (1-) G7)
G4 = CH
G5 = O
MPL: claim 1
NTE: substitution is restricted
NTE: or pharmaceutically acceptable salts, hydrates, and prodrugs

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 5 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 129:216627 MARPAT
TITLE: Preparation of aza and aza(N-oxy) analogs of glycine/NMDA receptor antagonists
INVENTOR(S): Keana, John F. W.; Cai, Sui Xiong; Zhou, Zhang-lin; Navratil, James M.
PATENT ASSIGNEE(S): Oregon Health Sciences University and the University of Oregon, USA; Cocensys, Inc.
SOURCE: U.S., 43 pp., Cont.-in-part of U. S Ser. No. 379,699, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

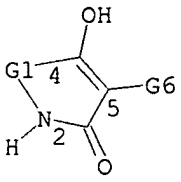
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5801183	A	19980901	US 1995-466043	19950606
CA 2211608	AA	19960801	CA 1995-2211608	19951221
WO 9622990	A2	19960801	WO 1995-US16575	19951221
WO 9622990	A3	19961010		
W: AL, AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9646024	A1	19960814	AU 1996-46024	19951221
AU 718748	B2	20000420		
BR 9510265	A	19971104	BR 1995-10265	19951221
EP 805809	A2	19971112	EP 1995-944152	19951221
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
JP 2002515012	T2	20020521	JP 1996-522852	19951221
FI 9703047	A	19970828	FI 1997-3047	19970718
NO 9703402	A	19970917	NO 1997-3402	19970723
PRIORITY APPLN. INFO.:			US 1995-379699	19950127
			US 1995-466043	19950606
			WO 1995-US16575	19951221

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title pyridine and pyridine(N-oxide) analogs of 4-hydroxydihydroquinolones, tetrahydroquinoline-trione-oximes and quinoxalones [I-IV; R15, R16 = H, halo, CN, etc.; R17 = H, halo, CN, etc.; R18 = H, F; R11 = H, halo, CN, etc.; n = 0-1], useful in treating or preventing neuronal loss associated with stroke, ischemia, CNS trauma, hypoglycemia and surgery, as well as in treating neurodegenerative diseases including Alzheimer's disease, amyotrophic lateral sclerosis, Huntington's disease, and Down's syndrome, treating or preventing adverse consequences of the hyperactivity of the excitatory amino acids, as well as treating anxiety, chronic pain, convulsions, inducing anesthesia, and treating or preventing opiate tolerance, were prepared Thus, reaction of Et 3-amino-5-chloropicolinate with the freshly prepared m-phenoxyphenylacetic acid chloride in the presence of Et3N in ClCH2CH2Cl followed by treatment of the resulting Et 5-chloro-3-(m-phenoxyphenylacetamido)nicotinate in THF with KHDMS in PhMe afforded I [R16 = R18 = H; R17 = Cl; R11 = 3=PhO; n = 0] which showed Ki of 5 nM in the glycine/NMDA receptor and ED50 of 3 mg/kg as an anticonvulsant in a MES experiment in mice.

MSTR 1



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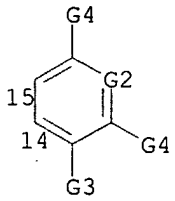

G2 = N
G4 = N3
G6 = 104

C(O)G7
104

G7 = alkyl<(1-6)> (SO G8)
DER: or tautomers or pharmaceutically acceptable salts
MPL: claim 32
NTE: substitution is restricted

REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 5 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 125:195443 MARPAT
TITLE: Preparation of azaquinolin-2-ones and their N-oxides as glycine/NMDA receptor antagonists
INVENTOR(S): Keana, John F. W.; Cai, Sui Xiong; Martin, Vladimir V.; Zhou, Zhang-Lin; Navratil, James M.
PATENT ASSIGNEE(S): State of Oregon, USA; Acea Pharmaceuticals, Inc.
SOURCE: PCT Int. Appl., 132 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9622990	A2	19960801	WO 1995-US16575	19951221
WO 9622990	A3	19961010		
W: AL, AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5801183	A	19980901	US 1995-466043	19950606
AU 9646024	A1	19960814	AU 1996-46024	19951221
AU 718748	B2	20000420		
BR 9510265	A	19971104	BR 1995-10265	19951221
EP 805809	A2	19971112	EP 1995-944152	19951221
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
JP 2002515012	T2	20020521	JP 1996-522852	19951221
FI 9703047	A	19970828	FI 1997-3047	19970718
NO 9703402	A	19970917	NO 1997-3402	19970723
PRIORITY APPLN. INFO.:			US 1995-379699	19950127
			US 1995-466043	19950606
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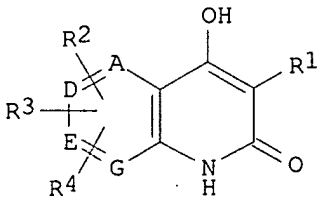

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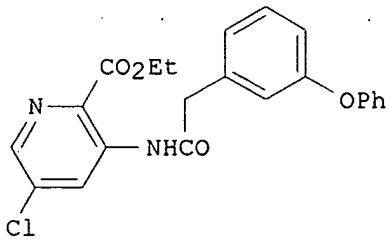
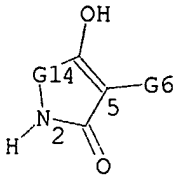


II

AB The title compds. [I; A, D, E, G = C, N and one or two of them is N; R1 = NO2, CN, CF3, etc.; R2, R3, R4 = H, NO2, NH2, etc.], useful in the treatment of neurodegenerative diseases including Alzheimer's disease, amyotrophic lateral sclerosis, Huntington's disease, and Down's syndrome, and for treating or preventing opiate tolerance, and as analgesics, anxiolytics, anticonvulsants, anesthetics and antipsychotics, were prepared

Thus, amidation of 3-PhOC6H4COCl with Et 3-amino-5-chloropicolinate in the presence of Et3N in Cl(CH2)2Cl followed by cyclization of the intermediate II in the presence of KHDMS/PhMe in THF afforded I [A = N; D, E, G = C; R1 = 3-PhOC6H4; R2 = 7-Cl; R3, R4 = H]. Typically, the compds. I are effective at 0.0025-50 mg/kg/day (orally) in mammals, e.g. humans.

MSTR 1



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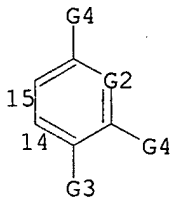

G2 = N
G4 = alkylamino<(1-4)>
G6 = 104

C(O)G7
104

G7 = alkyl (SO G8)
DER: or tautomers or pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted

L7 ANSWER 4 OF 5 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 120:244703 MARPAT
TITLE: Biphenylylmethyl-substituted pyridone derivatives
INVENTOR(S): Dressel, Juergen; Fey, Peter; Hanko, Rudolf; Huebsch, Walter; Kraemer, Thomas; Mueller, Ulrich; Mueller-Gliemann, Matthias; Beuck, Martin; Kazda, Stanislav; et al.
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Ger. Offen., 20 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4215588	A1	19931118	DE 1992-4215588	19920512
AU 9337109	A1	19931118	AU 1993-37109	19930422
NO 9301535	A	19931115	NO 1993-1535	19930427
EP 569794	A1	19931118	EP 1993-106987	19930429
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
US 5407942	A	19950418	US 1993-58550	19930505
JP 06056783	A2	19940301	JP 1993-129946	19930506
CA 2095802	AA	19931113	CA 1993-2095802	19930507
ZA 9303274	A	19931129	ZA 1993-3274	19930511
CN 1082029	A	19940216	CN 1993-105751	19930512
PRIORITY APPLN. INFO.:			DE 1992-4215588	19920512

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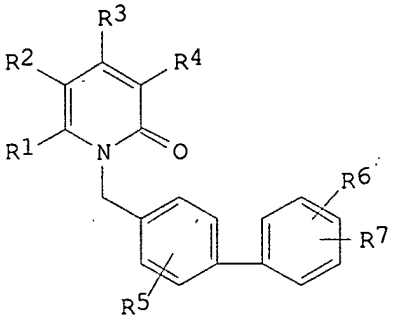

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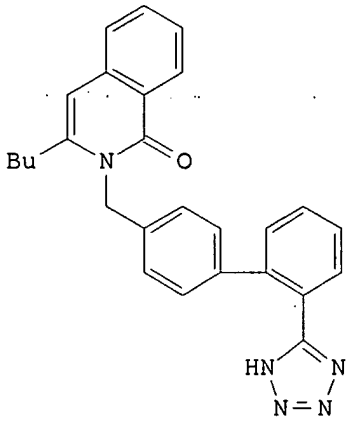
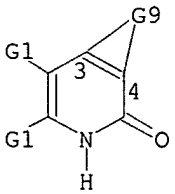


II

AB The title compds., 1-(4-biphenylylmethyl)-2-pyridinones I (R1, R2 = H, cyano, etc.; R3R4 = Ph or pyridyl ring; R5, R6 = H, alkyl, etc.; R7 = tetrazolyl) and their uses for the treatment of arterial hypertonia (antihypertensives) or atherosclerosis are claimed. An example compound, the 3-butyl-1-[(tetrazolylbiphenylyl)methyl]-2-isoquinolinone II was prepared in several steps. II had activity as angiotensin II antagonist in rats.

MSTR 2



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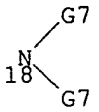

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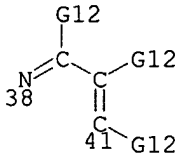
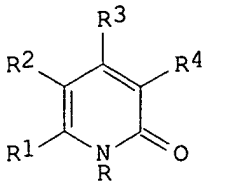


G12 = OH / alkylcarbonyl<(-8)>
MPL: claim 5

L7 ANSWER 5 OF 5 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 120:217310 MARPAT
TITLE: Preparation of N-(sulfonylbenzyl)benzo- and -pyridopyridones as angiotensin II antagonists
INVENTOR(S): Dressel, Juergen; Fey, Peter; Hanko, Rudolf H.; Huebsch, Walter; Kraemer, Thomas; Mueller, Ulrich E.; Mueller-Gliemann, Matthias; Beuck, Martin; Kazda, Stanislav; et al.
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Eur. Pat. Appl., 35 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 569795	A1	19931118	EP 1993-106988	19930429
EP 569795	B1	19950412		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
DE 4215587	A1	19931118	DE 1992-4215587	19920512
AU 9337106	A1	19931118	AU 1993-37106	19930422
NO 9301534	A	19931115	NO 1993-1534	19930427
AT 121086	E	19950415	AT 1993-106988	19930429
ES 2072784	T3	19950716	ES 1993-106988	19930429
US 5354749	A	19941011	US 1993-58548	19930505
JP 06049031	A2	19940222	JP 1993-127805	19930506
CA 2095801	AA	19931113	CA 1993-2095801	19930507
ZA 9303273	A	19931129	ZA 1993-3273	19930511
CN 1080923	A	19940119	CN 1993-105760	19930512

PRIORITY APPLN. INFO.: DE 1992-4215587 19920512
GI



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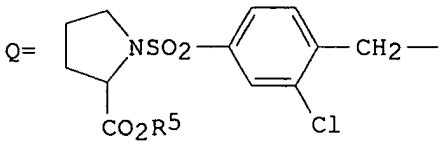
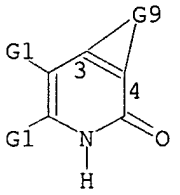


AB Title compds. [I; R = CH2ZSO2A; A = N-attached (substituted)heterocyclyl; R1,R2 = H, cyano, alk(en)yl, alkoxycarbonyl, Ph, etc.; R3R4 = atoms to complete a fused benzene or pyridine ring; Z = (substituted) 1,4-phenylene] were prepared Thus, 2-MeC6H4CN was treated with K in liquid NH3 followed by addition of BuCO2Me to give 2-(NC)C6H4CH2COBu which was cyclized to give I (R1 = Bu, R2 = H, R3R4 = CH:CHCH:CH) (II; R = H). The latter was condensed with (S)-R5Br (R5 = pyrrolidinosulfonylbenzyl group Q; R6 = CMe3) (preparation given) to give, after saponification, II (R = Q, R6 = H)
which had IC50 = 660nM against angiotensin II-induced contraction of rabbit aorta rings.

MSTR 2



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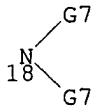

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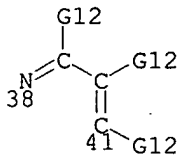

G12 = OH / alkylcarbonyl<(-8)>
MPL: claim 5